

ABSTRACT

The invention relates to molecules inhibiting biologically active compounds and further comprising
5 moieties specifically cleavable by a reagent produced by a target cell. More specifically, the
invention relates to inhibitors that bind, inhibit, suppress, neutralize, or decrease activity of a
biologically active agent. Inhibitors comprise at least one moiety that bind, inhibit, suppress,
neutralize, or decrease activity of a biologically active agent and at least one moiety that can be
cleaved specifically by a reagent produced by target cells. The cleavage deactivates the inhibitor.
10 Following cleavage, the active agent is liberated into the local environment. Administration of the
inhibitor alone or together with the active agent suppress the compound's activity until it reaches
the proximity of a target cell. This targeted specific release enables the agent concentration in a site
to reach levels that have desired therapeutic effects without systemic toxicity. The invention also
relates to production and uses of the inhibitors in diagnosis and treatment of a disease.